EAST UPDATE 09/868,884

L Number	Hits	Search Text	DB	Time stamp
1	3	(thiophen or thiophene) with (urea) with (carboxamide)	USPAT; US-PGPUB	2004/02/26 11:08

09/ 868,884

Connecting via Winsock to STN

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	SEP 09	CA/CAplus records now contain indexing from 1907 to the present
NEWS 4	DEC 08	INPADOC: Legal Status data reloaded
NEWS 5	SEP 29	DISSABS now available on STN
NEWS 6	OCT 10	PCTFULL: Two new display fields added
NEWS 7	OCT 21	BIOSIS file reloaded and enhanced
NEWS 8	OCT 28	BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS 9	NOV 24	MSDS-CCOHS file reloaded
NEWS 10	DEC 08	CABA reloaded with left truncation
NEWS 11	DEC 08	IMS file names changed
NEWS 12	DEC 09	Experimental property data collected by CAS now available in REGISTRY
NEWS 13	DEC 09	STN Entry Date available for display in REGISTRY and CA/CAplus
NEWS 14	DEC 17	DGENE: Two new display fields added
NEWS 15	DEC 18	BIOTECHNO no longer updated
NEWS 16	DEC 19	CROPU no longer updated; subscriber discount no longer available
NEWS 17	DEC 22	Additional INPI reactions and pre-1907 documents added to CAS databases
NEWS 18	DEC 22	IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields
NEWS 19	DEC 22	ABI-INFORM now available on STN
NEWS 20	JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS 21	JAN 27	A new search aid, the Company Name Thesaurus, available in CA/CAplus
NEWS 22	FEB 05	German (DE) application and patent publication number format changes

NEWS EXPRESS	DECEMBER 28 CURRENT WINDOWS VERSION IS V7.00, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
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NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

09/ 868,884

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FILE 'HOME' ENTERED AT 11:50:46 ON 26 FEB 2004

=> file reg
COST IN U.S. DOLLARS

FILE 'REGISTRY' ENTERED AT 11:50:55 ON 26 FEB 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 24 FEB 2004 HIGHEST RN 654050-72-3
DICTIONARY FILE UPDATES: 24 FEB 2004 HIGHEST RN 654050-72-3

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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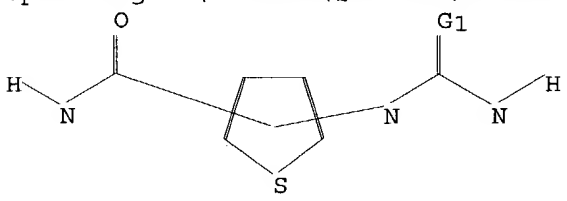
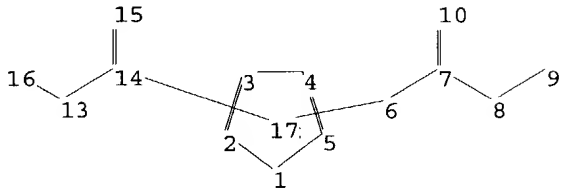
Uploading C:\STNEXP4\QUERIES\09868884.str





chain nodes :
6 7 8 9 10 13 14 15 16
ring nodes :
1 2 3 4 5
chain bonds :
6-7 7-8 7-10 8-9 13-14 13-16 14-15
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
6-7 7-8 7-10 13-14 14-15
exact bonds :
1-2 1-5 2-3 3-4 4-5 8-9 13-16
isolated ring systems :
containing 1 :

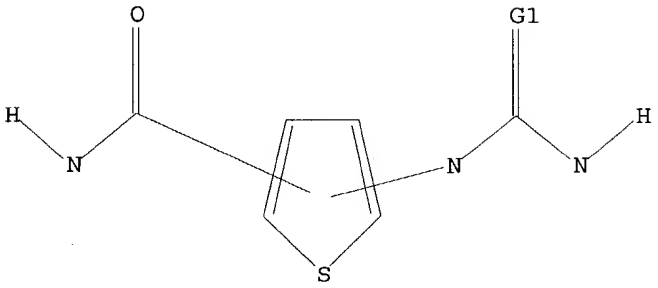
G1:O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS

09/ 868,884

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful
FULL SEARCH INITIATED 11:51:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 12367 TO ITERATE

100.0% PROCESSED 12367 ITERATIONS 750 ANSWERS
SEARCH TIME: 00.00.01

L2 750 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.42	155.63

FILE 'CAPLUS' ENTERED AT 11:51:28 ON 26 FEB 2004

FILE COVERS 1907 - 26 Feb 2004 VOL 140 ISS 9
FILE LAST UPDATED: 25 Feb 2004 (20040225/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s l2
L3 25 L2

=> d l3 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 25 ANSWERS - CONTINUE? Y/(N):n

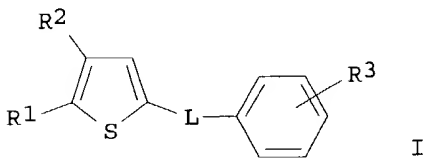
=> d l3 1- ibib abs fhitstr
YOU HAVE REQUESTED DATA FROM 25 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:991500 CAPLUS
DOCUMENT NUMBER: 140:27755
TITLE: Preparation of thiophenecarboxamides as NF-κb inhibitors
INVENTOR(S): Callahan, James F.; Li, Yue H.
PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104218	A1	20031218	WO 2003-US17385	20030529

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-386556P P 20020606
OTHER SOURCE(S): MARPAT 140:27755
GI



I

AB The title compds. [I; R1 = NR4R5; R2 = CONH2, SO2NH2; R3 = halo, alkyl, NH2, CF3, etc.; R4 = H, alkyl; R5 = C(:A)NHR6, COR7, R6; A = O, S, N; R6 = H, alkyl; R7 = alkyl; L = a linker D-E-D (wherein D = a bond, alkylene; E = (un)substituted CH:CH, CONH, NHCO, N, CO2, O, S, triple bond)] which are inhibitors of IKK-β phosphorylation of IκB (no data), were prepared E.g., a 5-step synthesis of 5-[(E)-2-phenylethenyl]-2-ureidothiophene-3-carboxamide (starting from 2-cyanoacetamide and [1,4]dithiane-2,5-diol), was given. The compds. I block pathol. activation of transcription factor NF-κB in which diseases excessive activation of NF-κB is implicated.
IT **633309-01-0P**

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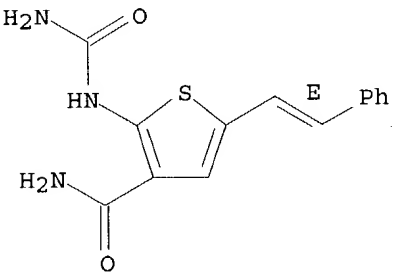
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of thiophenecarboxamides as NF-κb inhibitors)

RN 633309-01-0 CAPLUS

CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-[(1E)-2-phenylethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:656575 CAPLUS

DOCUMENT NUMBER: 139:197476

TITLE: Preparation of aryl heterocyclyl ureas with raf kinase and angiogenesis inhibiting activity

INVENTOR(S): Dumas, Jacques; Scott, William J.; Elting, James; Hatoum-Makdad, Holia

PATENT ASSIGNEE(S): Bayer Corporation, USA

SOURCE: PCT Int. Appl., 142 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003068223	A1	20030821	WO 2003-US4102	20030211

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2004023961	A1	20040205	US 2003-361844	20030211

PRIORITY APPLN. INFO.: US 2002-354948P P 20020211

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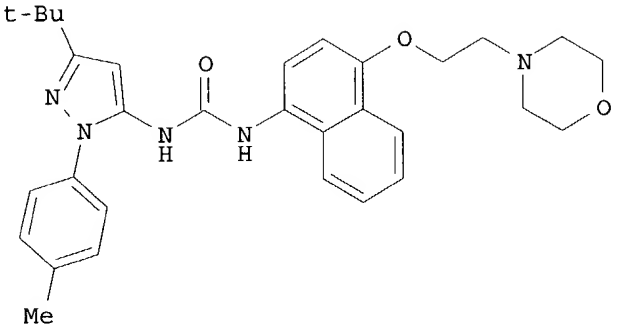


I

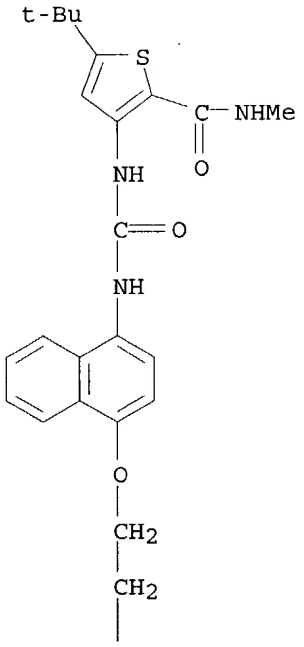
AB 283 Of the title ureas useful for treating diseases mediated by raf kinase and diseases mediated by the VEGF induced signal transduction pathway characterized by abnormal angiogenesis or hyperpermeability processes, were claimed. Synthesis of 6 ureas such as I was described. Thus, reacting 3-(tert-butyl)-1-(4-methylphenyl)pyrazole-5-ylamine with 4-(2-morpholin-4-ylethoxy)naphthylamine (prepns. given) and CDI in CH2Cl2 afforded 80% I which showed IC50 of < 1 μM in in vitro raf kinase and in in vitro Flk-1 ELISA assay.

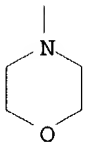
IT **294639-46-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl heterocyclyl ureas with raf kinase and angiogenesis inhibiting activity)

RN 294639-46-6 CAPLUS

CN 2-Thiophenecarboxamide, 5-(1,1-dimethylethyl)-N-methyl-3-[[[[4-[2-(4-morpholinyl)ethoxy]-1-naphthalenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A





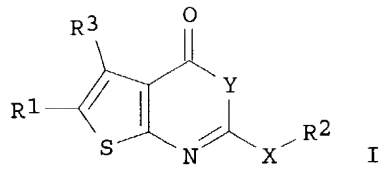
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:511310 CAPLUS
DOCUMENT NUMBER: 139:85360
TITLE: Preparation of 4-oxo-4H-thieno[2,3-d][1,3]oxazine derivatives as pancreatic lipase inhibitors for treatment of obesity or diabetes
INVENTOR(S): Witter, David; Castelhano, Arlindo L.
PATENT ASSIGNEE(S): Osi Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 176 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053944	A1	20030703	WO 2002-US41272	20021220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003195199	A1	20031016	US 2002-326302	20021220

PRIORITY APPLN. INFO.: US 2001-342617P P 20011220
US 2002-357015P P 20020213

OTHER SOURCE(S): MARPAT 139:85360
GI



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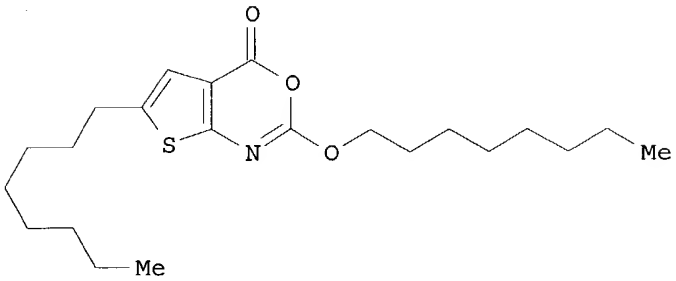


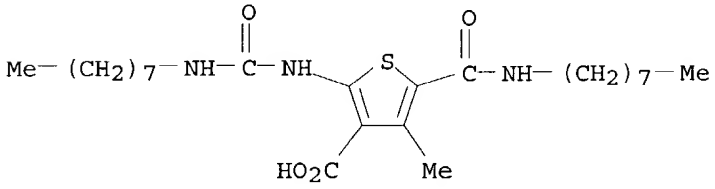
II

AB The title compds. I [wherein X = O, S, CH2, or NR5; Y = O or S; R1 = H, (un)substituted alkyl(aryl), CO2R4, CONR4R5, CR6R10OR4, CR6R10OCOR4, CR6R10OCONHR7, CONR8R9, NR5CONHR5, or CH2R4; R2 = (un)substituted alkyl, aryl, alkylaryl, (hetero)arylalkyl, or cycloalkyl; R3 = H or (un)substituted (cyclo)alkyl; R4 = H, (un)substituted alkyl, aryl, CH2-aryl, (hetero)arylalkyl, or cycloalkyl; R5 = H, (un)substituted alkyl, (hetero)arylalkyl, or cycloalkyl; R6 and R10 = independently H or (un)substituted (cyclo)alkyl; or R6 and R10 together form a ring; R7 = H or (un)substituted (cyclo)alkyl; R8 and R9 = independently H, (un)substituted alkyl, alkoxy, or alkylaryl; or NR8R9 together form a substituted piperazine ring, a piperidine ring, or a dihydro-1H-isoquinoline ring] and specific enantiomers, specific tautomers, and pharmaceutically acceptable salts thereof are prepared For example, the compound II was prepared in a multi-step synthesis. II showed 96.13% inhibitory activity against pancreatic lipase. I are useful for the treatment of diabetes or obesity (no data).

IT **554442-33-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of thienooxazine derivs. as pancreatic lipase inhibitors for treatment of obesity or diabetes)

RN 554442-33-0 CAPLUS

CN 3-Thiophenecarboxylic acid, 4-methyl-5-[(octylamino)carbonyl]-2-[[(octylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:282559 CAPLUS
DOCUMENT NUMBER: 138:304153
TITLE: Preparation of 2-ureidothiophenes as angiogenesis and

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Chk1 kinase inhibitors for treating various forms of cancer and hyperproliferative disorders

INVENTOR(S): Parrish, Cynthia A.; Callahan, James F.; Li, Yue; Stavenger, Robert A.; Holt, Dennis A.

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003029241	A1	20030410	WO 2002-US31752	20021004

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-326977P P 20011004

OTHER SOURCE(S): MARPAT 138:304153

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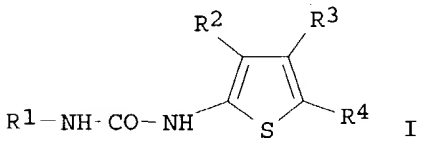


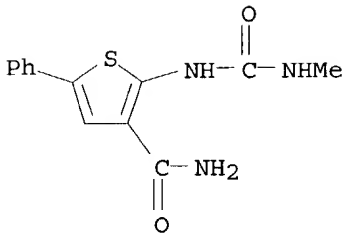
I

AB Ureidothiophenes (shown as I; variables defined below; e.g. 5-(4-fluorophenyl)-2-(3-methylureido)thiophene-3-carboxylic acid amide) useful in the inhibition of angiogenesis and damage response kinases (no data) are provided. Although the methods of preparation are not claimed, 46 example prepns. are included. For I: R1 = H, C1-2 alkyl, XH, XCH3, C1-2-alkyl-XH, C1-2 alkyl-XCH3, C(O)NH2, C(O)NHCH3, and C(O)-C1-2-alkyl; X = O, S, and NH; R2 = C(O)R5, CO2R5, C(O)NHR5, C(O)NHC(:NH)R5, C(O)NHC(:NH)NR5R6, C(O)NHC(O)R5, C(O)NHC(O)NR5R6, SO2R5, S(O)R5, SO3R5, and PO3R5R6. R3 is H or halogen; R4 is aryl or heteroaryl; addnl. details are given in the claims.

IT **106666-34-6P**, 2-(3-Methylureido)-5-phenylthiophene-3-carboxylic acid amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 2-ureidothiophenes as angiogenesis and Chk1 kinase inhibitors for treating various forms of cancer and hyperproliferative disorders)

RN 106666-34-6 CAPLUS

CN 3-Thiophenecarboxamide, 2-[[(methylamino)carbonyl]amino]-5-phenyl- (9CI) (CA INDEX NAME)



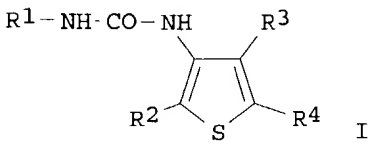
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:282401 CAPLUS
DOCUMENT NUMBER: 138:304152
TITLE: Preparation of 3-ureidothiophenes as angiogenesis and Chk1 kinase inhibitors for treating various forms of cancer and hyperproliferative disorders
INVENTOR(S): Parrish, Cynthia A.; Callahan, James F.; Wan, Zehong; Burgess, Joelle L.; Stavenger, Robert A.; Holt, Dennis A.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003028731	A1	20030410	WO 2002-US31901	20021004

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-326971P P 20011004
OTHER SOURCE(S): MARPAT 138:304152
GI



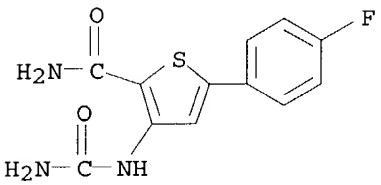
I

AB Ureidothiophenes (shown as I; variables defined below; e.g. 5-phenyl-3-ureidothiophene-2-carboxylic acid Me ester) useful in the inhibition of angiogenesis and damage response kinases (no data) are provided. Although the methods of preparation are not claimed, 36 example prepns. are included. For I: R1 = H, C1-2 alkyl, XH, XCH3, C1-2-alkyl-XH,

C1-2 alkyl-XCH3, C(O)NH2, C(O)NHCH3, and C(O)-C1-2-alkyl; X = O, S, and NH; R2 = C(O)R5, CO2R5, C(O)NHR5, C(O)NHC(:NH)R5, C(O)NHC(:NH)NR5R6, C(O)NHC(O)R5, C(O)NHC(O)NR5R6, SO2R5, S(O)R5, SO3R5, and PO3R5R6. R3 is H or halogen; R4 is aryl or heteroaryl; addnl. details are given in the claims.

IT **354810-86-9P**, 5-(4-Fluorophenyl)-3-ureidothiophene-2-carboxylic acid amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 3-ureidothiophenes as angiogenesis and Chk1 kinase inhibitors for treating various forms of cancer and hyperproliferative disorders)

RN 354810-86-9 CAPLUS
CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

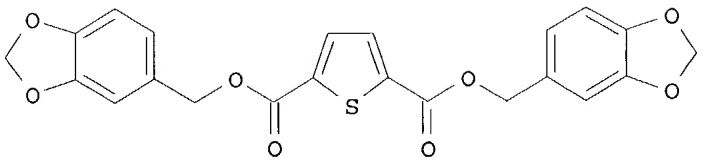


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:201515 CAPLUS
DOCUMENT NUMBER: 138:238166
TITLE: Preparation of heteroaryldicarboxylates as matrix metalloproteinase inhibitors
INVENTOR(S): Sorenson, R.
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: Eur. Pat. Appl., 75 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1291345	A1	20030312	EP 2002-255922	20020827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2003087924	A1	20030508	US 2002-224234	20020820
JP 2003128672	A2	20030508	JP 2002-258117	20020903
BR 2002003644	A	20030603	BR 2002-3644	20020905

PRIORITY APPLN. INFO.: US 2001-318488P P 20010910
OTHER SOURCE(S): MARPAT 138:238166
GI



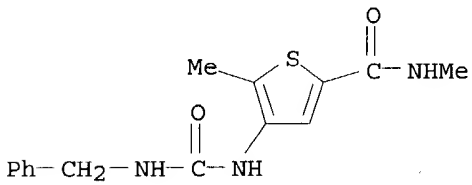
I

AB G1(CR1R2)nQ1BQ2(CR3R4)mG2 [G1, G2 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, Ph, naphthyl, heteroaryl; R1-R4 = H, Me, cyano, F; R1R2C, R3R4C = CO; n, m, = 1-3; Q1 = X1CO, COX2, X1COX2; Q2 = X3CO, COX4, X3COX4; X1-X4 = O, NH; B = (substituted) imidazolyl, pyrazolyl, furyl, thienyl, pyrrolyl, etc.], were prepared Thus, 2,5-thiophenedicarboxylic acid and 3,4-methylenedioxybenzyl chloride were stirred 24 h in DMF to give 2,5-thiophenedicarboxylic acid di-1,3-benzodioxol-5-ylmethyl ester (I). I inhibited MMP-13CD with IC50 = 8.6 μM. A tablet formulation containing I is given.

IT **501082-43-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of heteroaryldicarboxylates as matrix metalloproteinase inhibitors)

RN 501082-43-5 CAPLUS

CN 2-Thiophenecarboxamide, N,5-dimethyl-4-[[[(phenylmethyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:97415 CAPLUS
DOCUMENT NUMBER: 138:153430
TITLE: Preparation of ureido-carboxamido thiophenes as inhibitors of IKK2 kinase
INVENTOR(S): Griffiths, David; Johnstone, Craig
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

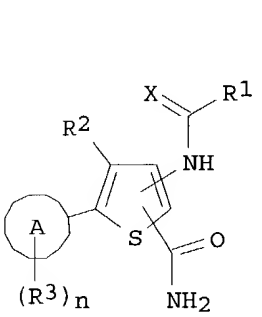
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003010163	A1	20030206	WO 2002-SE1402	20020719

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG

PRIORITY APPLN. INFO.: SE 2001-2617 A 20010725
OTHER SOURCE(S): MARPAT 138:153430

GI



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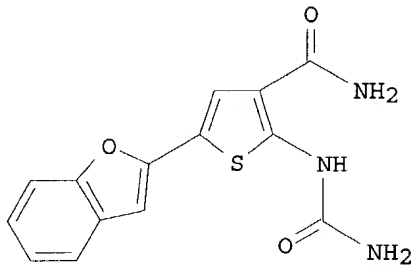


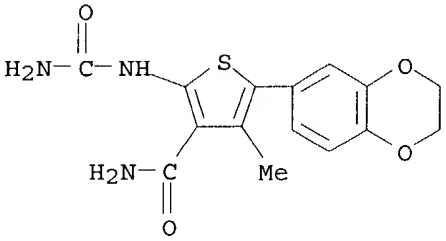
II

AB Title compds. I [R1 = NH2, (un)substituted methyl; X = O, S; R2 = H, halo, CN, NO2, amino, carboxamido, carboxy, etc.; A = Ph, 5-7-membered (un)substituted heteroarom. ring; n = 1-2; R3 = W-Y-Z; W = O, SO0-2; amino, CH2(O), bond; Y = (CH2)0-2-T-(CH2)0-2; T = O, CO, alkyl; Z = Ph, 5-6-membered (un)substituted heteroarom. ring, etc.; with specific exceptions] are prepared For instance, 2-Amino-3-thiophencarboxamide (preparation given) was converted to the corresponding urea (CH3CN, Cl3CONCO; MeOH/NH3), brominated in the thiophene 5-position (HOAc, Br2) and coupled to benzofuran-2-boronic acid (DME, Na2CO3, Pd°) to give II. Compds. of the invention have IC50 < 10 μM for IKK2 kinase. I are useful for the treatment of inflammatory diseases.

IT **494833-68-0P**, 2-[(Aminocarbonyl)amino]-4-methyl-5-(1,4-benzodioxan-6-yl)-3-thiophenecarboxamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of ureido-carboxamido substituted thiophenes as inhibitors of IKK2 kinase)

RN 494833-68-0 CAPLUS

CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]-5-(2,3-dihydro-1,4-benzodioxin-6-yl)-4-methyl- (9CI) (CA INDEX NAME)



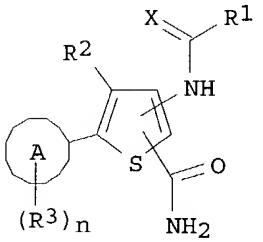
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:97411 CAPLUS
DOCUMENT NUMBER: 138:137162
TITLE: Preparation of ureido-carboxamido thiophenes as inhibitors of IKK2 kinase
INVENTOR(S): Faull, Alan; Johnstone, Craig; Morley, Andrew; Poyser, Jeffrey Philip
PATENT ASSIGNEE(S): Astrazeneca A.B., Swed.
SOURCE: PCT Int. Appl., 180 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

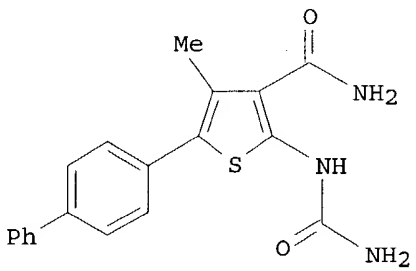
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003010158	A1	20030206	WO 2002-SE1403	20020719

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: SE 2001-2616 A 20010725
OTHER SOURCE(S): MARPAT 138:137162
GI



I

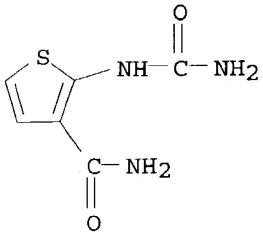


II

AB Title compds. I [R1 = NH2, (un)substituted methyl; X = O, S; R2 = H, halo, CN, NO2, amino, carboxamido, carboxy, etc.; A = Ph, 5-7-membered (un)substituted heteroarom. ring; n = 1-2; R3 = W-Y-Z; W = O, SO0-2; amino, CH2(O), bond; Y = (CH2)0-2-T-(CH2)0-2; T = O, CO, alkyl; Z = Ph, 5-6-membered (un)substituted heteroarom. ring, etc.; with specific exceptions] are prepared For instance, (1,1'-biphenyl-4-yl)acetone, cyanoacetamide, sulfur and morpholine in EtOH at 55° are reacted to give 2-Amino-4-methyl-5-(1,1'-biphenyl-4-yl)-3-thiophencarboxamide. This intermediate is treated with trichloroacetyl isocyanate and ammonia in MeOH to give example compound II. Compds. of the invention have IC50 < 10 μM for IKK2 kinase. I are useful for the treatment of inflammatory diseases.
IT **339365-14-9P**

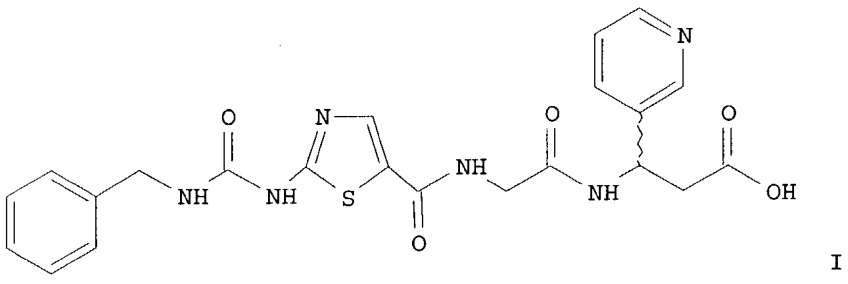
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of ureido-carboxamido thiophenes as inhibitors of IKK2 kinase)

RN 339365-14-9 CAPLUS
CN 3-Thiophenecarboxamide, 2-[(aminocarbonyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:324916 CAPLUS
DOCUMENT NUMBER: 137:310870
TITLE: Synthesis of highly potent and selective hetaryl ureas as integrin αVβ3-Receptor antagonists
AUTHOR(S): Lange, Udo E. W.; Backfisch, Gisela; Delzer, Jurgen; Geneste, Herve; Graef, Claudia; Hornberger, Wilfried; Kling, Andreas; Lauterbach, Arnulf; Subkowski, Thomas; Zechel, Christian
CORPORATE SOURCE: BASF AG, Ludwigshafen, D-67056, Germany
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(10), 1379-1382
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



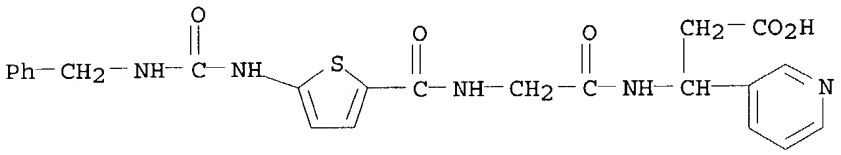
I

AB Solid-phase synthesis and SAR of integrin αVβ3-receptor antagonists [e.g., I] containing a urea moiety as non-basic guanidine mimetic are described. Compound I showed αVβ3 IC50 = 10,000 nM. For selected examples efficacy in functional cellular assays is demonstrated.

IT **304696-48-8P**
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)
(preparation of hetaryl ureas as integrin αVβ3-receptor antagonists)

09/ 868,884

RN 304696-48-8 CAPLUS
CN β-Alanine, N-[[5-[[[(phenylmethyl)amino]carbonyl]amino]-2-thienyl]carbonyl]glycyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

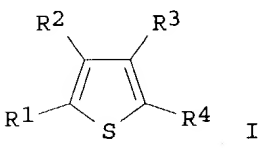


REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:293385 CAPLUS
DOCUMENT NUMBER: 136:325411
TITLE: Preparation of 2-aminothiophene-3-carboxamides as NF-κB inhibitors
INVENTOR(S): Callahan, James F.; Roshak, Amy K.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030353	A2	20020418	WO 2001-US31866	20011012
WO 2002030353	A3	20020627		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002011663	A5	20020422	AU 2002-11663	20011012
EP 1324759	A2	20030709	EP 2001-979731	20011012
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004024047	A1	20040205	US 2003-398847	20030410

PRIORITY APPLN. INFO.: US 2000-239759P P 20001012
WO 2001-US31866 W 20011012
OTHER SOURCE(S): MARPAT 136:325411
GI



I

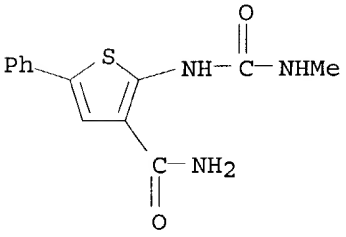
AB The title compds. [I; R1 = NR5R6; R2 = CONH2, SO2NH2; R3 = H, halo; R4 =

aryl, heteroaryl; R5 = H, alkyl; R6 = H, COalkyl, SO2alkyl, etc.], useful as inhibitors of IKK-β phosphorylation of IκB, were prepared Thus, treating (4-fluorophenyl)ethanol with PCC in CH2Cl2 followed by reacting the resulting (4-fluorophenyl)acetaldehyde with sulfur and 2-cyanoacetamide in the presence of Et3N in DMF afforded 2-amino-5-(4-fluorophenyl)thiophene-3-carboxamide.

IT **106666-34-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-aminothiophene-3-carboxamides as NF-κB inhibitors)

RN 106666-34-6 CAPLUS

CN 3-Thiophenecarboxamide, 2-[[(methylamino)carbonyl]amino]-5-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:746592 CAPLUS

DOCUMENT NUMBER: 136:95577

TITLE: Discovery of heterocyclic ureas as a new class of raf kinase inhibitors: identification of a second generation lead by a combinatorial chemistry approach

AUTHOR(S): Smith, R. A.; Barbosa, J.; Blum, C. L.; Bobko, M. A.; Caringal, Y. V.; Dally, R.; Johnson, J. S.; Katz, M. E.; Kennure, N.; Kingery-Wood, J.; Lee, W.; Lowinger, T. B.; Lyons, J.; Marsh, V.; Rogers, D. H.; Swartz, S.; Walling, T.; Wild, H.

CORPORATE SOURCE: Department of Chemistry Research, Bayer Research Center, West Haven, CT, 06516, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(20), 2775-2778
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

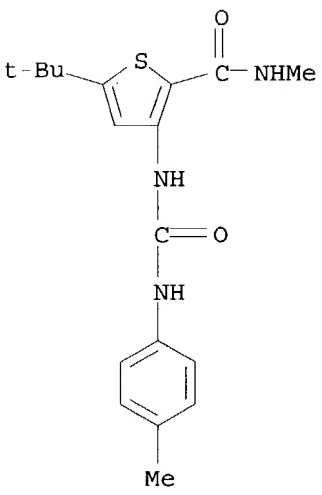
LANGUAGE: English

AB Heterocyclic ureas, such as N-3-thienyl N'-aryl ureas, have been identified as novel inhibitors of raf kinase, a key mediator in the ras signal transduction pathway. Structure-activity relationships were established, and the potency of the screening hit was improved 10-fold to IC50=1.7 μM. A combinatorial synthesis approach enabled the identification of a breakthrough lead (IC50=0.54 μM) for a second generation series of heterocyclic urea raf kinase inhibitors.

IT **216573-34-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(heterocyclic ureas as raf kinase inhibitors)

RN 216573-34-1 CAPLUS

CN 2-Thiophenecarboxamide, 5-(1,1-dimethylethyl)-N-methyl-3-[[[(4-methylphenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

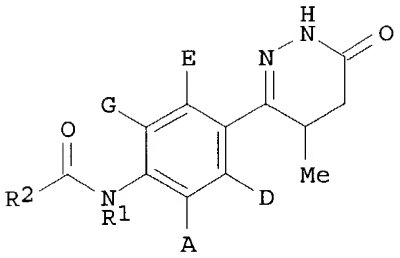


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:657513 CAPLUS
DOCUMENT NUMBER: 135:227005
TITLE: Preparation of 6-(4-acylaminophenyl)-5-methyldihydropyridazinones for treatment of anemia
INVENTOR(S): Braeunlich, Gabriele; Loegers, Michael; Stoltefuss, Juergen; Schmeck, Carsten; Nielsch, Ulrich; Stuermer, Werner; Gerdes, Christian; Lustig, Klemens; Sperzel, Michael
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 52 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10010425	A1	20010906	DE 2000-10010425	20000303
WO 2001064652	A1	20010907	WO 2001-EP1873	20010220
WO 2001064652	C2	20020704		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1272474	A1	20030108	EP 2001-925339	20010220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: DE 2000-10010425 A 20000303
WO 2001-EP1873 W 20010220
OTHER SOURCE(S): MARPAT 135:227005
GI



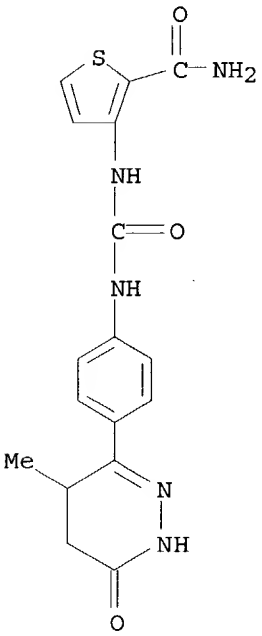
I

AB Use of title compds. [I; A, D, E, G = H, alkyl, OH, halo, alkoxy; R1 = H, alkyl; R2 = (substituted) heterocyclyl, Ph, cycloalkyl, aryl, aryloxy, arylthio, dihydropyridinone, alkyl, alkoxycarbonyl, alkoxy, alkenyl, etc.], for preparation of drugs or drug formulations for treatment of anemia, is claimed. Thus, 6-(4-aminophenyl)-5-methyl-4,5-dihydro-2H-pyridazin-3-one in DMF was stirred for 16 h at 20° with 4-methoxyphenyl isocyanate and 1 drop Et3N to give 92% 1-(4-methoxyphenyl)-3-[4-(4-methyl-6-oxo-1,4,5,6-tetrahydropyridazin-3-yl)phenyl]urea. I were said to show erythropoiesis stimulating effects (no data).

IT **358780-37-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylaminophenylmethyldihydropyridazinones for treatment of anemia)

RN 358780-37-7 CAPLUS

CN 2-Thiophenecarboxamide, 3-[[[[4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



L3 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:597977 CAPLUS
DOCUMENT NUMBER: 135:180698
TITLE: Preparation of thiophenecarboxamides as inhibitors of

the enzyme IKK-2

INVENTOR(S): Baxter, Andrew; Brough, Stephen; Faull, Alan; Johnstone, Craig; Mcinally, Thomas

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2

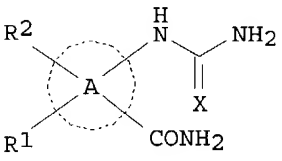
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001058890	A1	20010816	WO 2001-SE248	20010207
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1261600	A1	20021204	EP 2001-902951	20010207
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001008143	A	20030121	BR 2001-8143	20010207
JP 2003522766	T2	20030729	JP 2001-558440	20010207
US 2002107252	A1	20020808	US 2002-868884	20020205
NO 2002003786	A	20020923	NO 2002-3786	20020809

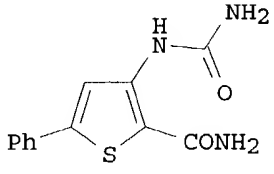
PRIORITY APPLN. INFO.: GB 2000-3154 A 20000212
WO 2001-SE248 W 20010207

OTHER SOURCE(S): MARPAT 135:180698

GI



I



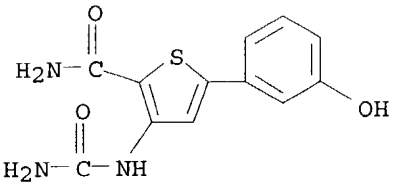
II

AB The title compds. [I; A = 5-membered heteroarom. ring containing 1-2 heteroatoms selected from O, N or S; R1 = (un)substituted Ph, 5-7 membered heteroarom. ring containing 1-3 heteroatoms selected from O, N or S; R2 = H, halo, CN, etc.; X = O, S], useful in the treatment or prophylaxis of inflammatory disease, were prepared Thus, refluxing 3-amino-5-phenyl-2-thiophenecarboxamide with trimethylsilyl isocyanate in DMF/CH2Cl2 afforded II.

IT **354811-01-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of thiophenecarboxamides as inhibitors of the enzyme IKK-2)

RN 354811-01-1 CAPLUS

CN 2-Thiophenecarboxamide, 3-[(aminocarbonyl)amino]-5-(3-hydroxyphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

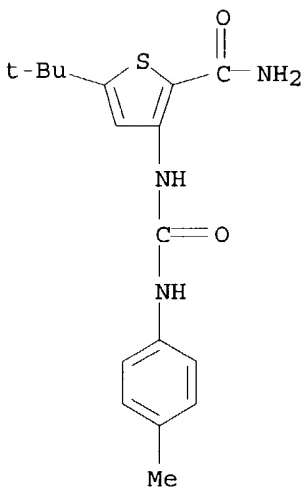
L3 ANSWER 14 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:560439 CAPLUS
DOCUMENT NUMBER: 135:338686
TITLE: Similarity searching in large combinatorial chemistry spaces
AUTHOR(S): Rarey, Matthias; Stahl, Martin
CORPORATE SOURCE: GMD-German National Research Center for Information Technology, Institute for Algorithms and Scientific Computing (SCAI), Sankt Augustin, 53754, Germany
SOURCE: Journal of Computer-Aided Molecular Design (2001), 15(6), 497-520
CODEN: JCADEQ; ISSN: 0920-654X
PUBLISHER: Kluwer Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We present a novel algorithm, called Ftrees-FS, for similarity searching in large chemical spaces based on dynamic programming. Given a query compound, the algorithm generates sets of compds. from a given chemical space that are similar to the query. The similarity search is based on the feature tree similarity measure representing mols. by tree structures. This descriptor allows handling combinatorial chemical spaces as a whole instead of looking at subsets of enumerated compds. Within few minutes of computing time, the algorithm is able to find the most similar compound in very large spaces as well as sets of compds. at an arbitrary similarity level. In addition, the diversity among the generated compds. can be controlled. A set of 17,000 fragments of known drugs, generated by the RECAP procedure from the World Drug Index, was used as the search chemical space. These fragments can be combined to more than 1018 compds. of reasonable size. For validation, known antagonists/inhibitors of several targets including dopamine D4, histamine H1, and COX2 are used as queries. Comparison of the compds. created by Ftrees-FS to other known actives demonstrates the ability of the method to jump between structurally unrelated mol. classes.

IT **371974-26-4**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); MSC (Miscellaneous); PRP (Properties); BIOL (Biological study)
(search for tyrosine kinase inhibitors; similarity searching in large combinatorial chemical spaces)

RN 371974-26-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-(1,1-dimethylethyl)-3-[[[(4-methylphenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

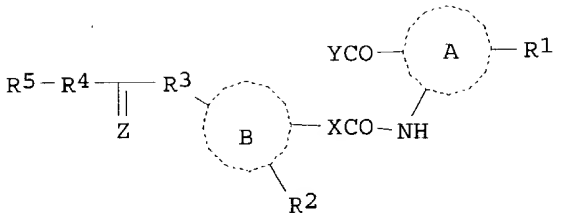


REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:265376 CAPLUS
DOCUMENT NUMBER: 134:295625
TITLE: Preparation of novel diarylamide derivatives and use thereof as remedies of abnormal propagation of vascular smooth muscle cells
INVENTOR(S): Ogita, Haruhisa; Isobe, Yoshiaki; Takaku, Haruo
PATENT ASSIGNEE(S): Japan Energy Corporation, Japan
SOURCE: PCT Int. Appl., 196 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001025190	A1	20010412	WO 2000-JP6667	20000927
W: AU, CA, JP, NZ, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 2000074466	A5	20010510	AU 2000-74466	20000927
EP 1229010	A1	20020807	EP 2000-962891	20000927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				

PRIORITY APPLN. INFO.: JP 1999-281271 A 19991001
JP 1999-290789 A 19991013
WO 2000-JP6667 W 20000927
OTHER SOURCE(S): MARPAT 134:295625
GI



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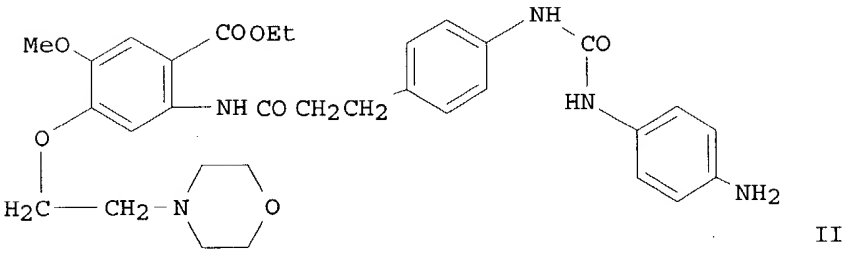


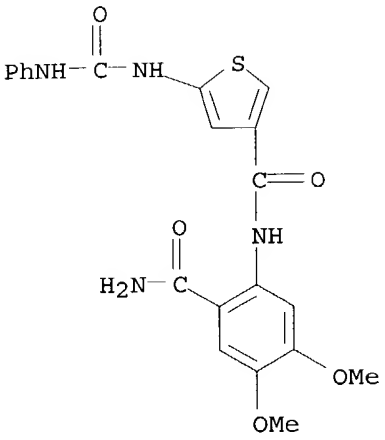
II

AB Title compds. [I; wherein A and B are each an aromatic ring such as benzene ring; COY and NHCOX are adjacent to each other and bonded to carbon atoms constituting A; X is alkylene, alkyleneoxy, or a single bond; Y is alkyl, alkoxy, hydroxyl, or optionally substituted amino; R1 is hydrogen, halogeno, hydroxyl, alkyl, or the like, with the proviso that when A is a benzene ring, R1 is not hydrogen; R2 is hydrogen, halo, hydroxyl, alkyl; R3 and R4 are each optionally substituted imino, oxygen, or a single bond; R5 is alkyl, optionally substituted Ph, etc.; Z is oxygen or sulfur] and pharmaceutical compns. containing the derivs. or salts as the active ingredient for prevention or treatment of diseases caused by abnormal propagation of vascular smooth muscle cells. Thus, the title compound II was prepared and tested.

IT **334025-27-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Preparation of novel diarylamide derivs. and use thereof as remedies of abnormal propagation of vascular smooth muscle cells)

RN 334025-27-3 CAPLUS

CN 3-Thiophenecarboxamide, N-[2-(aminocarbonyl)-4,5-dimethoxyphenyl]-5-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:111513 CAPLUS
DOCUMENT NUMBER: 134:163040
TITLE: Preparation of heteroaryl aryl ureas as raf kinase inhibitors
INVENTOR(S): Wood, Jill E.; Wild, Hanno; Rogers, Daniel H.; Lyons, John; Katz, Michael; Caringal, Yolanda; Dally, Robert; Lee, Wendy; Smith, Roger A.; Blum, Cheri
PATENT ASSIGNEE(S): Onyx Pharmaceuticals, USA; Bayer Corporation
SOURCE: U.S., 30 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6187799	B1	20010213	US 1998-83399	19980522
US 2001006975	A1	20010705	US 2001-755060	20010108

PRIORITY APPLN. INFO.: US 1997-126420P P 19970523
US 1998-83399 A3 19980522

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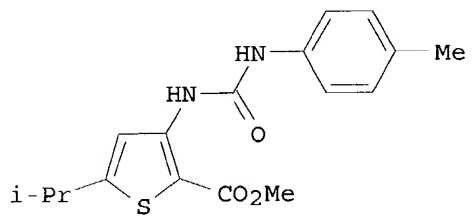


I

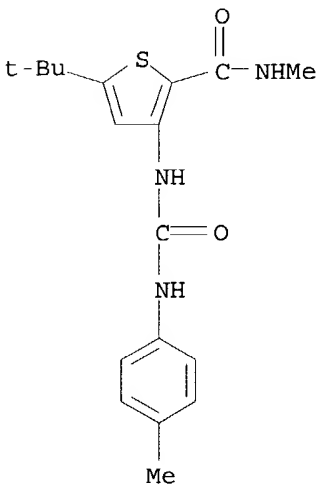
AB The title heteroaryl aryl ureas, useful in treating tumors mediated by raf kinase (no data), were prepared E.g., a multi-step synthesis of the urea I was given. The title compds. such as I are effective at 0.01-200 mg/kg/day.

IT **216573-34-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroaryl aryl ureas as raf kinase inhibitors)

RN 216573-34-1 CAPLUS

CN 2-Thiophenecarboxamide, 5-(1,1-dimethylethyl)-N-methyl-3-[[[(4-methylphenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:872650 CAPLUS

DOCUMENT NUMBER: 134:216799

TITLE: p38 Kinase inhibitors for the treatment of arthritis and osteoporosis: thienyl, furyl, and pyrrolyl ureas

AUTHOR(S): Redman, A. M.; Johnson, J. S.; Dally, R.; Swartz, S.; Wild, H.; Paulsen, H.; Caringal, Y.; Gunn, D.; Renick, J.; Osterhout, M.; Kingery-Wood, J.; Smith, R. A.; Lee, W.; Dumas, J.; Wilhelm, S. M.; Housley, T. J.; Bhargava, A.; Ranges, G. E.; Shrikhande, A.; Young, D.; Bombara, M.; Scott, W. J.

CORPORATE SOURCE: Department of Chemistry Research, Bayer Research Center, Pharmaceutical Division, West Haven, CT, 06516, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), Volume Date 2001, 11(1), 9-12
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

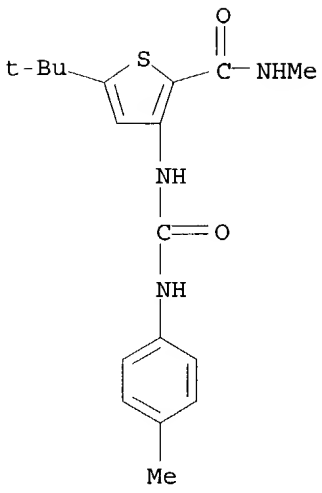
AB Inhibitors of the MAP kinase p38 are potentially useful for the treatment for osteoporosis, arthritis, and other inflammatory diseases. A series of thienyl, furyl, and pyrrolyl ureas has been identified as potent p38 inhibitors, displaying in vitro activity in the nanomolar range.

IT **216573-34-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(thienyl, furyl, and pyrrolyl ureas as p38 kinase inhibitors)

RN 216573-34-1 CAPLUS

CN 2-Thiophenecarboxamide, 5-(1,1-dimethylethyl)-N-methyl-3-[[[(4-methylphenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:790535 CAPLUS
DOCUMENT NUMBER: 133:350516
TITLE: Preparation and use of peptidomimetic integrin receptor antagonists for the treatment of disease
INVENTOR(S): Kling, Andreas; Lange, Udo; Lauterbach, Arnulf; Geneste, Herve; Subkowski, Thomas; Zechel, Johann-Christian; Graef, Claudia Isabella; Hornberger, Wilfried
PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 307 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

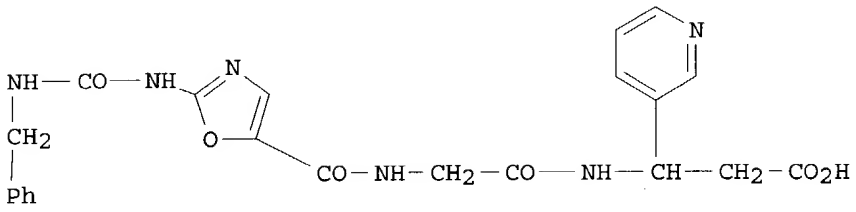
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066618	A1	20001109	WO 2000-EP3469	20000417
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19919218	A1	20001102	DE 1999-19919218	19990428
DE 19948269	A1	20010412	DE 1999-19948269	19991006
EP 1173468	A1	20020123	EP 2000-926971	20000417
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000010092	A	20020611	BR 2000-10092	20000417
JP 2003500339	T2	20030107	JP 2000-615647	20000417
BG 106040	A	20020531	BG 2001-106040	20011023
NO 2001005237	A	20011221	NO 2001-5237	20011026

PRIORITY APPLN. INFO.: DE 1999-19919218 A 19990428

DE 1999-19948269 A 19991006
WO 2000-EP3469 W 20000417

OTHER SOURCE(S): MARPAT 133:350516

GI



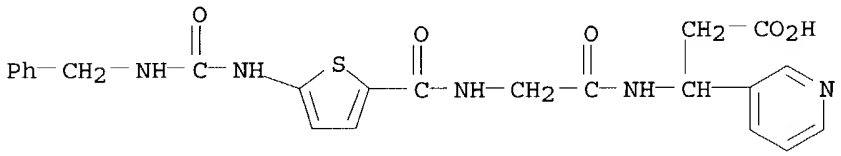
I

AB Title compds., e.g. (I), were prepared for use as integrin receptor antagonists in the treatment of diseases, and pharmaceutical prepns. containing said compds. and at least one other active compound were described. Prepns. of PhCH2NHC(O)NH-substituted heterocyclic portions were given, as well as details of their coupling to resin-bound β-alanine derivs. using solid-phase synthesis techniques. In in vitro tests of title compds. vs. nature integrin αvβ3 ligand vitronectin, some title compds. had IC50 values of from 10-0.00028 μM.

IT **304696-48-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation and use of peptidomimetic integrin receptor antagonists for the treatment of disease)

RN 304696-48-8 CAPLUS

CN β-Alanine, N-[[5-[[[(phenylmethyl)amino]carbonyl]amino]-2-thienyl]carbonyl]glycyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:666726 CAPLUS
DOCUMENT NUMBER: 133:252173
TITLE: Methods for preparation of aromatic heterocyclic substituted urea and thiourea derivatives as non-steroidal anti-inflammatory agents
INVENTOR(S): Cirillo, Pier F.; Hickey, Eugene R.; Regan, John R.
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

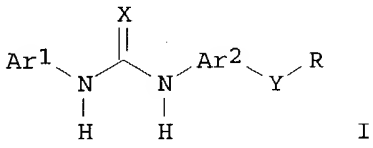
09/ 868,884

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055152	A1	20000921	WO 2000-US2008	20000131
W: CA, JP, MX				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1163236	A1	20011219	EP 2000-909993	20000131
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002539206	T2	20021119	JP 2000-605581	20000131
US 6297381	B1	20011002	US 2000-503385	20000214
US 6476023	B1	20021105	US 2000-716351	20001120

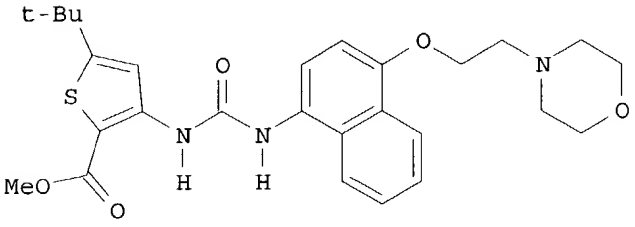
PRIORITY APPLN. INFO.: US 1999-124147P P 19990312
WO 2000-US2008 W 20000131
US 2000-503385 A3 20000214

OTHER SOURCE(S): MARPAT 133:252173

GI



I



II

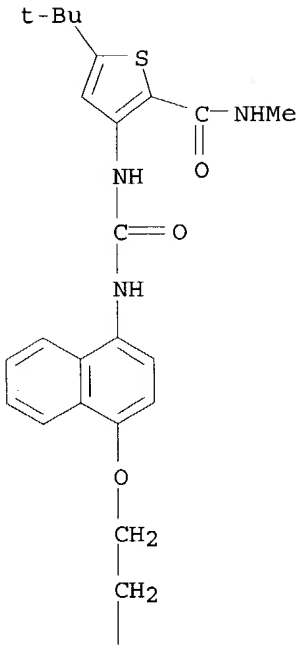
AB Preparative methods for the title compds. I [X = O, S; Ar1 = (un)substituted aromatic heterocycle; Ar2 = (un)substituted Ph, naphthyl, quinoline, etc.; Y = divalent (un)substituted bridge; R = (un)substituted Ph, naphthyl, pyridine, pyrimidine, pyridazine, furan, etc.] are disclosed. Aryl amines are reacted with Ph chloroformate to yield intermediate carbamates which are further reacted with aryl amines to complete the urea bridge in the title compds., e.g. II. The title compds. have potential use as non-steroidal anti-inflammatory agents operating by inhibiting cytokine production (no data).

IT **294639-46-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aromatic heterocyclic substituted urea and thiourea derivs. as non-steroidal anti-inflammatory agents)

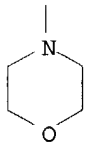
RN 294639-46-6 CAPLUS

CN 2-Thiophenecarboxamide, 5-(1,1-dimethylethyl)-N-methyl-3-[[[[4-[2-(4-morpholinyl)ethoxy]-1-naphthalenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:776672 CAPLUS
DOCUMENT NUMBER: 130:38284
TITLE: Preparation of urea derivatives as raf kinase inhibitors
INVENTOR(S): Wood, Jill E.; Wild, Hanno; Rogers, Daniel H.; Lyons, John; Katz, Michael E.; Caringal, Yolanda V.; Dally, Robert; Lee, Wendy; Smith, Roger A.; Blum, Cheri L.
PATENT ASSIGNEE(S): Bayer Corp., USA; Onyx Pharmaceuticals; et al.
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9852559	A1	19981126	WO 1998-US10376	19980521

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG,

KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, ML, MR, NE, SN, TD, TG

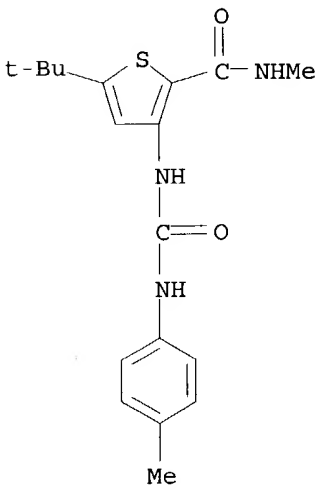
AU 9875855	A1	19981211	AU 1998-75855	19980521
EP 986382	A1	20000322	EP 1998-923601	19980521
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002500650	T2	20020108	JP 1998-550618	19980521

PRIORITY APPLN. INFO.: US 1997-863021 A2 19970523
WO 1998-US10376 W 19980521

AB Substituted urea compds., useful for treating tumors mediated by raf kinase (no data), were prepared E.g., reaction of Me thioglycolate and 3-chloro-4-methyl-2-pentenenitrile gave 16% of the 3-aminothiophene derivative, which was reacted with 4-MeC6H4NCO to give Me 5-isopropyl-3-(3-p-tolylureido)thiophene-2-carboxylate.

IT **216573-34-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of urea derivs. as raf kinase inhibitors)

RN 216573-34-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-(1,1-dimethylethyl)-N-methyl-3-[[[(4-methylphenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1998:776671 CAPLUS
DOCUMENT NUMBER:	130:38286
TITLE:	Inhibition of p38 kinase activity by aryl ureas
INVENTOR(S):	Ranges, Gerald; Scott, William; Bombara, Michael; Rauner, Deborah; Redman, Aniko; Smith, Roger; Paulsen, Holger; Chen, Jinshan; Gunn, David; Renick, Joel
PATENT ASSIGNEE(S):	Bayer Corp., USA; et al.
SOURCE:	PCT Int. Appl., 84 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

09/ 868,884

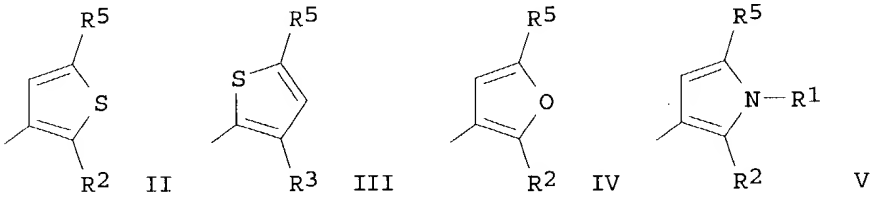
PATENT INFORMATION:

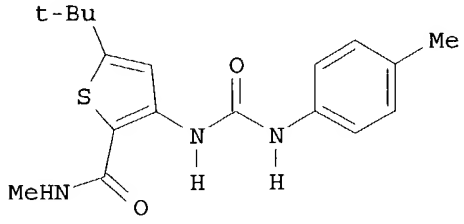
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9852558	A1	19981126	WO 1998-US10375	19980521
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9875854	A1	19981211	AU 1998-75854	19980521
EP 1019040	A1	20000719	EP 1998-923600	19980521
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001526687	T2	20011218	JP 1998-550617	19980521
US 6344476	B1	20020205	US 1998-83396	19980522
US 2002103253	A1	20020801	US 2001-947761	20010907

PRIORITY APPLN. INFO.: US 1997-863022 A2 19970523
US 1997-98557P P 19970523
WO 1998-US10375 W 19980521
US 1998-83396 A3 19980522

OTHER SOURCE(S): MARPAT 130:38286

GI





VI

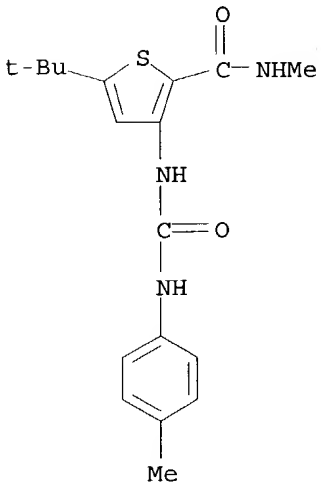
AB The title ureas ANHC(O)NHB [I; A = (un)substituted C6-12 aryl, C5-12 heteroaryl; B = II-V; R1 = H, C1-4 alkyl; R2, R3 = halo, COOR1, CN, etc.; R5 = C3-5 alkyl], useful in treating cytokine mediated diseases other than cancer and proteolytic enzyme mediated diseases other than cancer, were prepared Thus, reaction of N-methyl-3-amino-5-tert-butylthiophene-2-carboxamide (preparation given) with 4-methylphenyl isocyanate in PhMe afforded 44% the title compound VI. Compds. I are useful in treating diseases mediated by TNFα, MMP-1, MMP-3, IL-1, IL-6, or IL-8 such as rheumatoid arthritis, osteoporosis, asthma, septic shock, inflammatory bowel disease, or the result of host-vs.-graft reactions. All exemplified compds. I showed p38 IC50s of 1 nM - 10 μM.

IT **216573-34-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibition of p38 kinase activity by aryl ureas)

RN 216573-34-1 CAPLUS

CN 2-Thiophenecarboxamide, 5-(1,1-dimethylethyl)-N-methyl-3-[[[(4-methylphenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:994741 CAPLUS

DOCUMENT NUMBER: 124:86809

TITLE: Preparation of (pyrrolyl- and thienylcarbonyl)guanidines as sodium-hydrogen exchange inhibitors, antiarrhythmic agents, and cell proliferation inhibitors

INVENTOR(S): Kleemann, Heinz-Werner; Lang, Hans-Jochen; Schwark, Jan-Robert; Weichert, Andreas; Scholz, Wolfgang; Albus, Udo

PATENT ASSIGNEE(S): Hoechst A.-G., Germany

SOURCE: Eur. Pat. Appl., 48 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

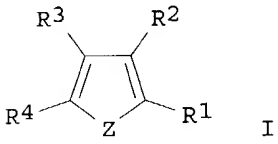
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 676395	A2	19951011	EP 1995-105088	19950405
EP 676395	A3	19960306		
EP 676395	B1	20030903		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
DE 4412334	A1	19951019	DE 1994-4412334	19940411
AT 248817	E	20030915	AT 1995-105088	19950405
FI 9501681	A	19951012	FI 1995-1681	19950407
AU 9516354	A1	19951019	AU 1995-16354	19950407
AU 683722	B2	19971120		
US 5698581	A	19971216	US 1995-418434	19950407
CA 2146707	AA	19951012	CA 1995-2146707	19950410
NO 9501405	A	19951012	NO 1995-1405	19950410
JP 07291927	A2	19951107	JP 1995-107811	19950410

ZA 9502930	A	19960126	ZA 1995-2930	19950410
HU 71616	A2	19960129	HU 1995-1035	19950410
CN 1117044	A	19960221	CN 1995-104391	19950410
CN 1073988	B	20011031		
IL 113310	A1	20000629	IL 1995-113310	19950410

PRIORITY APPLN. INFO.: DE 1994-4412334 A 19940411
OTHER SOURCE(S): MARPAT 124:86809
GI

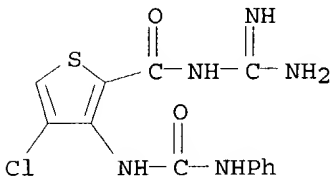


I

AB Title compds. [I; 1 of R1,R2 = CON:C(NH2)2 and the other = H, halo, alkyl, CON:C(NH2)2, NH2, etc.; R3,R4 = H, halo, cyano, alkyl, Ph, heteroaryl, etc.; Z = SO0-2, O, NR5; R5 = H, alkyl, etc.] were prepared Thus, Me 1-methylpyrrole-2-carboxylate was alkylated with (CF3)2CFI and the product amidated with guanidine to give I [R1 = CON:C(NH2)2, R2 = R3 = H, R4 = (CF3)2CF, Z = NMe] which ad IC50 of 0.3μM against Na+/H+ exchange in rabbit erythrocytes in vitro.

IT **172459-17-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (pyrrolyl- and thienylcarbonyl)guanidines as sodium-hydrogen exchange inhibitors, antiarrhythmic agents, and cell proliferation inhibitors)

RN 172459-17-5 CAPLUS
CN 2-Thiophenecarboxamide, N-(aminoiminomethyl)-4-chloro-3-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)

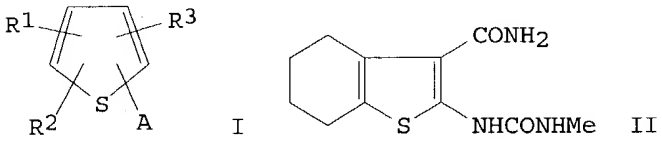


L3 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:423224 CAPLUS
DOCUMENT NUMBER: 107:23224
TITLE: Thienylureas and -isoureas and their preparation and use as growth promoters for animals
INVENTOR(S): Hallenbach, Werner; Lindel, Hans; Berschauer, Friedrich; Scheer, Martin; De Jong, Arno
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 79 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

DE 3529247	A1	19861120	DE 1985-3529247	19850816
EP 202538	A1	19861126	EP 1986-106209	19860506
EP 202538	B1	19881228		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
AT 39404	E	19890115	AT 1986-106209	19860506
AU 8657217	A1	19861120	AU 1986-57217	19860507
JP 61268678	A2	19861128	JP 1986-109713	19860515
DK 8602300	A	19861118	DK 1986-2300	19860516
BR 8602224	A	19870113	BR 1986-2224	19860516
ZA 8603645	A	19870128	ZA 1986-3645	19860516
HU 41244	A2	19870428	HU 1986-2086	19860516
ES 555052	A1	19880216	ES 1986-555052	19860516
CS 258481	B2	19880816	CS 1986-3569	19860516
FI 8602201	A	19861118	FI 1986-2201	19860526
PRIORITY APPLN. INFO.:			DE 1985-3517706	19850517
			DE 1985-3529247	19850816
			EP 1986-106209	19860506

OTHER SOURCE(S): CASREACT 107:23224
GI

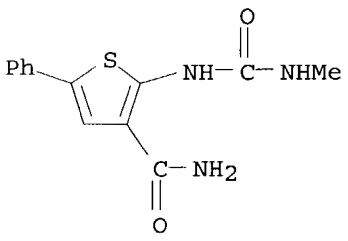


AB Title compds. I [A = NR4CONR5R6, NR4C(OR5):NR6; R1, R2 = H, halo, NO2, CN, (halo)alkoxy, (halo)alkylthio, alkoxyalkyl, (un)substituted acyl, aroyl, alkyl, aryl; R1R2 complete a(n) (un)substituted carbocyclic or heterocyclic ring, optionally with a carbonyl function; R3 = CN, CO2R7, CONR8R9, COR10; R4 = H, alkyl; R5,R6 = H, (un)substituted alkyl, cycloalkyl, alkenyl, aryl, heteroaryl; R7 = H, (un)substituted alkyl, cycloalkyl, alkenyl, aryl; R8 = H, alkyl, cycloalkyl; R9, R10 = (un)substituted alkyl or aryl], useful as growth promoters for animals, were prepared by 3 methods. 2-Aminotetrahydrobenzothiophene-3-carboxamide and MeNCO in CHCl3 were refluxed 24 h to give 95% II. Rats fed with 10 ppm II mixed in their feed gained 14% more weight than the controls.

IT **106666-34-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as animal growth promoter)

RN 106666-34-6 CAPLUS

CN 3-Thiophenecarboxamide, 2-[[(methylamino)carbonyl]amino]-5-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:83475 CAPLUS

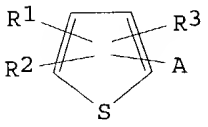
09/ 868,884

DOCUMENT NUMBER: 106:83475
TITLE: Productivity-increasing agents for livestock
INVENTOR(S): Hallenbach, Werner; Lindel, Hans; Berschauer, Friedrich; Scheer, Martin; De Jong, Anno
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 80 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 202538	A1	19861126	EP 1986-106209	19860506
EP 202538	B1	19881228		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
DE 3529247	A1	19861120	DE 1985-3529247	19850816
AT 39404	E	19890115	AT 1986-106209	19860506

PRIORITY APPLN. INFO.: DE 1985-3517706 19850517
DE 1985-3529247 19850816
EP 1986-106209 19860506

GI

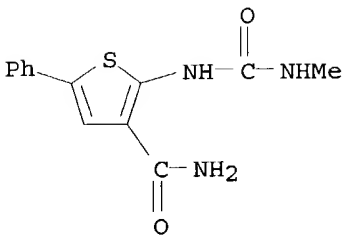


I

AB Productivity-increasing agents for livestock comprise thienylurea or thienylisourea derivs. I (A = NH2, NCO, NR4CONR5R6, NHR4, NR4C(OR5)NR6; R1, R2 = H, halogen, nitro, CN, (un)substituted alkyl, aryl, etc.; R3 = CN, COOR7, CONR8R9, COR10; R4 = H, alkyl; R5, R6 = H, substituted alkyl, cycloalkyl, alkenyl, aryl, heteroaryl; R7 = H, substituted alkyl, cycloalkyl, alkenyl, aryl; R8 = H, alkyl, cycloalkyl; R9 = H, substituted alkyl or aryl; R10 = substituted alkyl or aryl). Thus, 218 thienylurea and thienylisourea compds. were prepared N-Butyl-N'-(3-methoxycarbonyltetrahydrobenzothien-2-yl)urea, given to rats at 25 ppm. in their feed for 13 days increased weight gain by 13% over that of control rats.

IT **106666-34-6P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as livestock productivity-increasing agent)

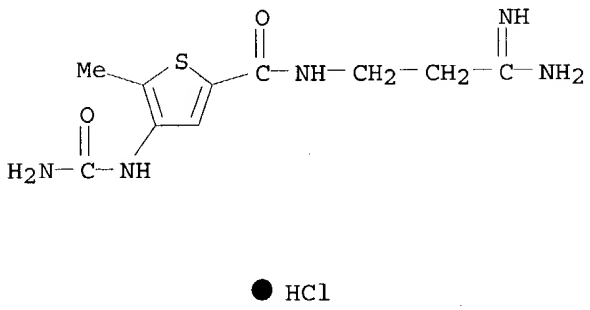
RN 106666-34-6 CAPLUS
CN 3-Thiophenecarboxamide, 2-[[(methylamino)carbonyl]amino]-5-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1968:78088 CAPLUS
DOCUMENT NUMBER: 68:78088
TITLE: Amidines and guanidines related to congocidin. IV. Thiophene, pyridine, and benzene analogs
AUTHOR(S): Jones, David Henry; Wooldridge, Kenneth R. H.
CORPORATE SOURCE: Res. Lab., May and Baker Ltd., Dagenham, UK
SOURCE: Journal of the Chemical Society [Section] C: Organic (1968), (5), 550-4
CODEN: JSOOAX; ISSN: 0022-4952
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Four analogs H2NC(:NH)NHCH2CONHXCONHYCONHCH2CH2C(:NH)NH2 (I) (X = p-phenylene, Y = pyridine-3,5-diyl, 5-methylthiophene-2,4-diyl, and thiophene-2,5-diyl; X = thiophene-2,5-diyl; Y = p-phenylene) of congocidin (I, X = Y = N-methylpyrrole-2,4-diyl) were prepared in which the N-methylpyrrole ring was replaced by other aromatic rings. These compds., and some related mono- and diamidines, showed no useful biol. activity.

IT **17266-05-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 17266-05-6 CAPLUS
CN Urea, [5-[(2-amidinoethyl)carbamoyl]-2-methyl-3-thienyl]-, monohydrochloride (8CI) (CA INDEX NAME)



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FILE 'REGISTRY' ENTERED AT 11:50:55 ON 26 FEB 2004
L1 STRUCTURE UPLOADED
L2 750 S L1 FUL

FILE 'CAPLUS' ENTERED AT 11:51:28 ON 26 FEB 2004